

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
13 October 2005 (13.10.2005)

PCT

(10) International Publication Number
WO 2005/094896 A2

(51) International Patent Classification⁷: **A61K 47/48**

(21) International Application Number:
PCT/US2005/010356

(22) International Filing Date: 28 March 2005 (28.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/557,069 26 March 2004 (26.03.2004) US

(71) Applicant (for all designated States except US): **BAYLOR UNIVERSITY** [US/US]; One Bear Place, No. 97034, Waco, TX 76798-7034 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **PINNEY, Kevin, G.** [US/US]; 717 Topeka Drive, Woodway, TX 76712 (US). **MIRANDA, Maria, Graciela** [GT/US]; 2026 S. 7th Street, Apt.58, Waco, TX 76706 (US). **DORSEY, James, Michael** [US/US]; 4 Lakemont Circle, Durham, NC 27703 (US).

(74) Agents: **CHWANG, T., Ling** et al.; Jackson Walker L.L.P., 2435 N. Central Expressway, Suite 600, Richardson, TX 75080 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

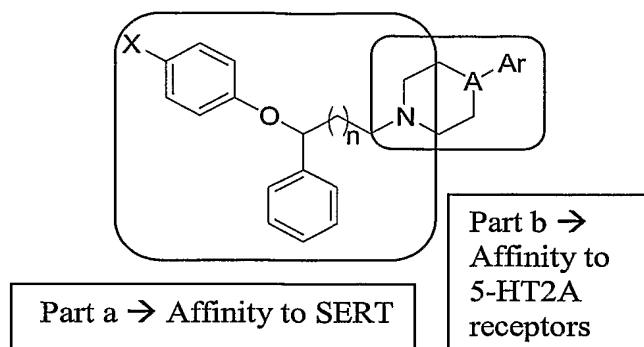
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: SEROTONIN REUPTAKE INHIBITORS



X = F or CF₃

n = 0 or 1 (ethyl or propyl chain)

A = N or C (piperazine or piperidine)

Ar = variety of aryl derivatives

(57) Abstract: A serotonin reuptake inhibitor which can be used in the treatment of depression and which has a decreased occurrence of unwanted side effects. The serotonin reuptake inhibitors are bi-functional organic molecules which combine serotonin transporter reuptake inhibition with serotonin (5-HT, such as 5-HT_{2A}) receptor antagonism in one molecular entity. The serotonin-selective reuptake inhibitor (SSRI) homologue portion of the molecule shows an affinity to the serotonin reuptake transporter (SERT) and has antidepressant properties. The piperidine or piperazine portion of the molecule demonstrates an affinity to 5-HT receptors and restores the undesired side effects of SSRIs.

WO 2005/094896 A2